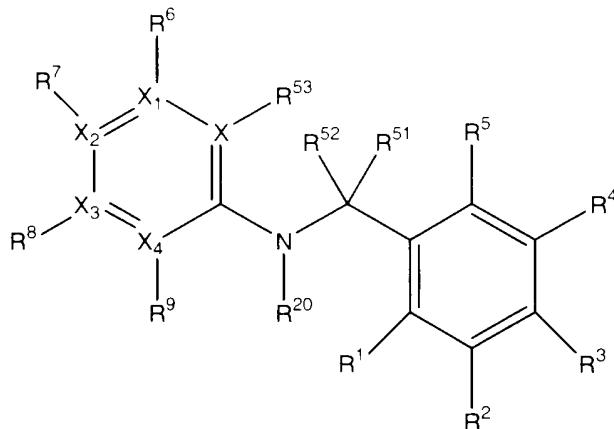


I. A compound of Formula I:

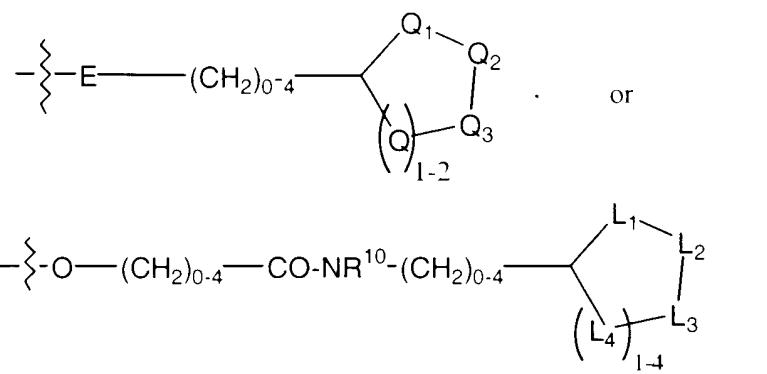


Formula I

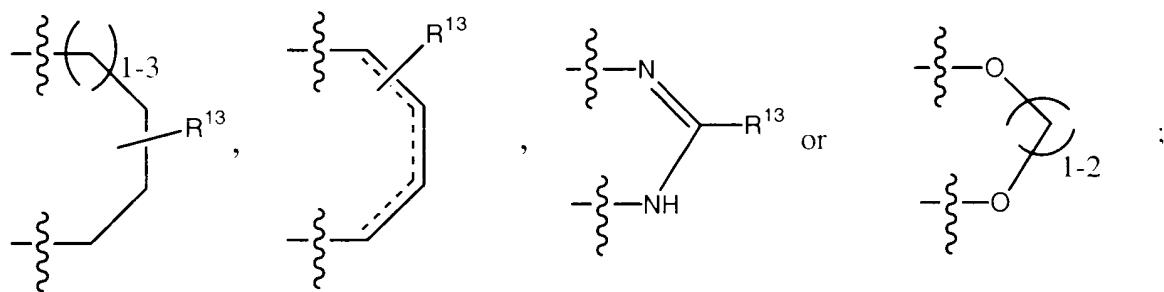
its prodrug form or a pharmaceutically acceptable salt thereof, wherein:

R¹ represents OH, COOH, COO-C₁₋₄ alkyl, CH₂OR¹⁰, SO₂-OH, O-SO₂-OH, O-SO₂-OC₁₋₄ alkyl, OP(O)(OH)₂, or OPO₃C₁₋₄ alkyl;

R², R³, R⁴, and R⁵ independently at each occurrence represent H, SH, OR¹⁰, halogen, COOR¹⁰, CONR¹¹R¹², optionally substituted heterocyclyl, C₄₋₁₄ cycloalkyl-C₁₋₄ alkyl, C₁₋₄ alkyl aryl, optionally substituted C₁₋₁₄ straight chain, branched or cyclo alkyl, NR¹⁰R²⁴, 4-carbamimidoylphenylazo, (2-morpholin-4-ylethylcarbamoyl)methoxy, 4-carbamimidoyl-phenylcarbamoyl, N=CH-N(CH₃)₂, 1,3-dioxo-1,3-dihydroisoindol-2-yl, toluene-4-sulfonylamino, 3-(4-carbamimidoylphenylcarbamoyl)-4-hydroxyphenylsulfanyl, O(CH₂)₅COOC₂H₅, O(CH₂)₅COOH, (CH₂)₁₋₄-NR³³R³⁴, (CH₂)₁₋₄-COOR³³, O-(CH₂)₁₋₃-CO-het, O-(CH₂)₁₋₂-NH-CO-aryl, O-(CH₂)₀₋₂-NR¹⁰-CO-NR¹⁰R³³, O-(CH₂)₀₋₂-C(O)-NR³³R³⁴, O-(CH₂)₁₋₄-COOR¹⁰, O-(CH₂)₁₋₃-het-R³², O-optionally substituted cycloalkyl, O-(CH₂)₁₋₄-NR¹⁰-COO-t-butyl, O-(CH₂)₁₋₄-NR¹⁰R³³, O-(CH₂)₁₋₄-NR¹⁰-C(O)-C₀₋₃-alkyl-optionally substituted aryl, O-(CH₂)₀₋₆-optionally substituted aryl, (CH₂)₁₋₄-NH-C(O)O-(CH₂)₁₋₄-PhR¹³R¹⁴, NO₂, O-(CH₂)₀₋₄-C(O)-NH-tetrahydro carboline, SO₃H, CH(OH)COOR¹⁰, NR¹⁰R²⁸, O-(CH₂)₁₋₃-optionally substituted het, CH₂COOCH₃, CH=CH-COOCH₃.

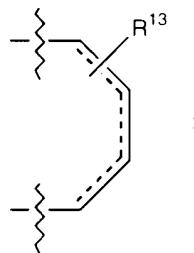


alternatively R^2 and R^3 , R^3 and R^4 , or R^4 and R^5 taken together form



R^6 , R^9 and R^{53} independently at each occurrence represents H, halogen, cyano, C_{1-4} alkyl, C_{1-4} halogenated alkyl, NO_2 , O-aryl or OR^{11} ;

alternatively R^6 and R^{53} taken together form



R^7 and R^8 independently at each occurrence represent OH, CF_3 , H, COOH, NO_2 , C_{1-4} alkyl, OC_{1-4} alkyl, O-aryl, halogen, cyano, or a basic group selected from guanidino, $NH(CH=NH)NH_2$, $C(=NH)N(R^{10})_2$, $C(=NH)-NH-NH_2$, $C(=O)N(R^{10})_2$, 2-imidazoline, N-amidinomorpholine.

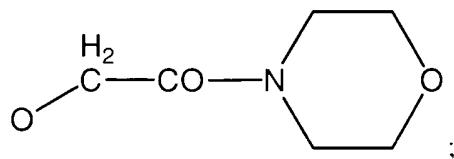
N-amidino piperidine, 4-hydroxy-N-amidino piperidine, N-amidino pyrrolidine, tetrahydro pyrimidine, C(O)CH₂NH₂, C(O)NHCH₂CN, NHCH₂CN, and thiazolidin-3-yl-methylideneamine; with the proviso that only one of R⁷ and R⁸ represent a basic group:

R¹⁰ independently at each occurrence represents H, (CH₂)₀₋₂-aryl, C₁₋₄ halo alkyl, or C₁₋₁₄ straight chain, branched or cyclo alkyl, and alternatively, when one atom is substituted with two R¹⁰ groups, the atom along with the R¹⁰ groups can form a five to 10 membered ring structure:

X₁, X₂, X₃ and X₄ independently at each occurrence represent a carbon or a nitrogen atom;

R¹¹ and R¹² independently at each occurrence represent H or C₁₋₄ alkyl;

R¹³ represents H, OH, bromo, methyl, OC₁₋₄ alkyl, OAr, OC₅₋₁₀ cycloalkyl, OCH₂CN, O(CH₂)₁₋₂NH₂, OCH₂COO-C₁₋₄ alkyl or



R²⁰ represents H or OH;

R²⁴ represents R¹⁰, (CH₂)₁₋₄-optionally substituted aryl, (CH₂)₀₋₄OR¹⁰, CO-(CH₂)₁₋₂-N(R¹⁰)₂,

CO(CH₂)₁₋₄-OR¹⁰, (CH₂)₁₋₄-COOR¹⁰, (CH₂)₀₋₄-N(R¹⁰)₂, SO₂R¹⁰, COR¹⁰, CON(R¹⁰)₂,

(CH₂)₀₋₄-aryl-COOR¹⁰, (CH₂)₀₋₄-aryl-N(R¹⁰)₂, or (CH₂)₁₋₄-het-aryl;

R²⁸ represents (CH₂)₁₋₂-Ph-O-(CH₂)₀₋₂-het-R³⁰, C(O)-het, CH₂-Ph-CH₂-het-(R³⁰)₁₋₃,

(CH₂)₁₋₄-cyclohexyl-R³¹, CH₂-Ph-O-Ph-(R³⁰)₁₋₂, CH₂-(CH₂OH)-het-R³⁰, CH₂-Ph-O-cycloalkyl-R³¹,

CH₂-het-C(O)-CH₂-het-R³⁰, or CH₂-Ph-O-(CH₂)-O-het-R³⁰;

R³⁰ represents SO₂N(R¹⁰)₂, H, NHOH, amidino, or C(=NH)CH₃;

R³¹ represents R³⁰, amino-amidino, NH-C(=NH)CH₃ or R¹⁰;

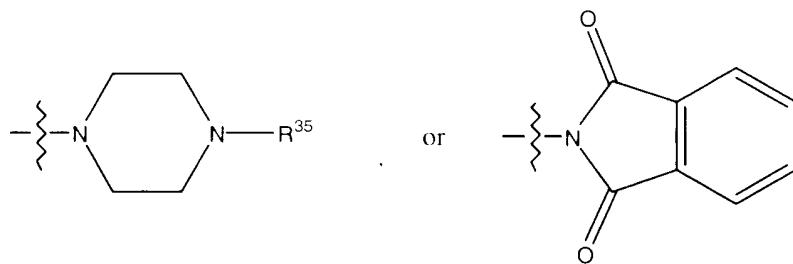
R³² represents H, C(O)-CH₂-NH₂, or C(O)-CH(CH(CH₃)₂)-NH₂;

R³³ and R³⁴ independently at each occurrence represent R¹⁰, (CH₂)₀₋₄-Ar, optionally substituted aryl,

(CH₂)₀₋₄ optionally substituted heteroaryl, (CH₂)₁₋₄-CN, (CH₂)₁₋₄-N(R¹⁰)₂, (CH₂)₁₋₄-OH,

(CH₂)₁₋₄-SO₂-N(R¹⁰)₂;

alternatively, R^{33} and R^{34} along with the nitrogen atom that they are attached to forms a 4 to 14 atom ring structure selected from tetrahydro-1H-carboline; 6,7-Dialkoxyoxy-2-substituted 1,2,3,4-tetrahydro-isoquinoline.



R^{35} represents R^{10} , SO_2-R^{10} , COR^{10} , or $CONHR^{10}$;

E represents a bond, $S(O)_{0-2}$, O or NR^{10} ;

Q , Q^1 , Q^2 , Q^3 , L^1 , L^2 , L^3 and L^4 independently at each occurrence represent N-natural amino acid side chain, CHR^{10} , O , NH , $S(O)_{0-2}$, $N-C(O)-NHR^{10}$, $SO_2-N(R^{10})_2$, $N-C(O)-NH-(CH_2)_{1-4}-R^{26}$, NR^{10} , N-heteroaryl, $N-C(=NH)-NHR^{10}$, or $N-C(=NH)C_{1-4}$ alkyl;

R^{26} represents OH , NH_2 , or SH ;

R^{51} and R^{52} independently represent $COOH$, CH_2OH , CH_2COOH , $COOR$, CH_2COOR , alkyl or $CO-NH_2$; alternatively

R^{51} and R^{52} taken together represent $=O$, $=S$, $=CH_2$ or $=NR^{10}$;

R^{53} represents H , halogen, cyano, C_{1-4} alkyl, C_{1-4} halogenated alkyl, NO_2 , O -aryl or OR^{11} ;

with the proviso that at least two of X_1 , X_2 , X_3 and X_4 represent a carbon atom, and when any of X_1 , X_2 , X_3 and X_4 represent a nitrogen atom the corresponding substituent does not exist.

Please delete Claims 20-27 and Claims 9, 18, 19 and 28-31, subject to the filing of a divisional patent application.

No new matter is added by this Amendment. Support for 4-carbamimidoylphenylazo, (2-morpholin-4-ylethylcarbamoyl)methoxy, 4-carbamimidoyl-phenylcarbamoyl, $N=CH-N(CH_3)_2$, 1,3-dioxo-1,3-dihydroisoindol-2-yl, toluene-4-sulfonylamino, 3-(4-carbamimidoylphenylcarbamoyl)-4-hydroxyphenylsulfanyl, $O(CH_2)_5COOC_2H_5$ and $O(CH_2)_5COOH$ within the definition of R^2 , R^3 , R^4 ,